

5/04/05

NEWS 13 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS 14 APR 04 EPFULL enhanced with additional patent information and new fields
NEWS 15 APR 04 EMBASE - Database reloaded and enhanced
NEWS 16 APR 18 New CAS Information Use Policies available online
NEWS 17 APR 25 Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAPLUS and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS 18 APR 28 Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAPLUS

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

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NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 16:06:25 ON 04 MAY 2005

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Switching to the Registry File...

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|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 0.63 | 0.63 |

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10691624

5/04/05

STRUCTURE FILE UPDATES: 3 MAY 2005 HIGHEST RN 849720-40-7
DICTIONARY FILE UPDATES: 3 MAY 2005 HIGHEST RN 849720-40-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

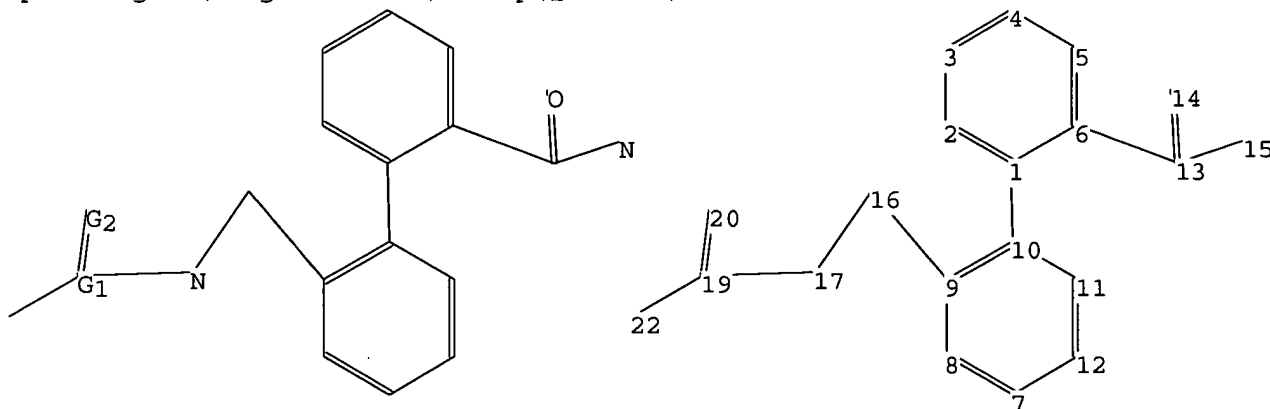
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10691624.str



chain nodes :

13 14 15 16 17 19 20 22

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

chain bonds :

1-10 6-13 9-16 13-14 13-15 16-17 17-19 19-20 19-22

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

13-14 13-15 16-17 17-19 19-20 19-22

exact bonds :

1-10 6-13 9-16

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

10691624

5/04/05

isolated ring systems :
containing 1 : 7 :

G1:C,S

G2:O,S

Match level :

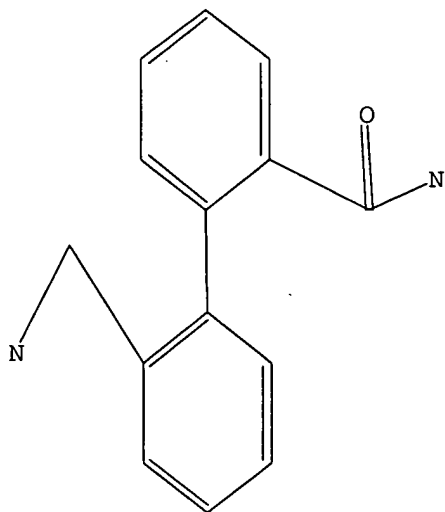
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 19:CLASS
20:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:08:21 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 474 TO ITERATE

100.0% PROCESSED 474 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

50 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 8174 TO 10786
PROJECTED ANSWERS: 1181 TO 2299

L2 50 SEA SSS SAM L1

10691624

5/04/05

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.86

1.49

FILE 'CAPLUS' ENTERED AT 16:09:11 ON 04 MAY 2005

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FILE COVERS 1907 - 4 May 2005 VOL 142 ISS 19

FILE LAST UPDATED: 3 May 2005 (20050503/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2

L3 7 L2

=> d abs bib hitstr 1-7

5/04/05

L3 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 AB The invention relates to 2,2'-disubstituted biphenyls in which the substituents are chains containing structures associated with amino acids, peptides and amides. The claims describe compds. 2,2'-(C6H4)2R1R2, where R1, R2 are NH2, alkyl- or arylamino, CO2H, CONH2, CO-peptide, peptide-NH, etc. The biphenyl derivs. have calpain inhibitory activity and can be used for the preventive or therapeutic treatment of a degenerative disease. Thus, 2,2'-(C6H4)2(CO-L-Phe-L-Val-OMe)2 was prepared via peptide coupling reactions and showed IC50 = 10 nM for inhibition of calpain.

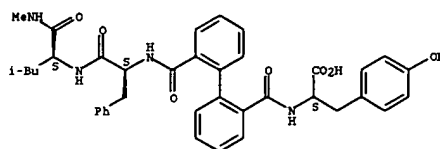
2004:1015995 CAPLUS
 AN 141:424440
 DN Preparation of peptide biphenyl derivatives as calpain inhibitors
 TI Herradon Garcia, Bernardo/ Benito Cano, Esperanza/ Chana Lopez, Antonio/
 IN Mann Morales, Enrique/ Montero Aguado, Ana
 PA Consejo Superior de Investigaciones Cientificas, Spain
 SO PCT Int. Appl., 60 pp.
 CODEN: PIXXD2
 DT Patent
 LA Spanish
 FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| PI WO 2004101494 | A1 | 20041125 | WO 2004-ES70034 | 20040511 |
| WO 2004101494 | B1 | 20050106 | | |
| V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

ES 2219187 A1 20041116 ES 2003-1125 20030514
 PRAI ES 2003-1125 A 20030514
 OS MARPAT 141:424440
 IT 740818-12-6P 794589-71-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of peptide biphenyl derivs. as calpain inhibitors)
 RN 740818-12-6 CAPLUS
 CN L-Leucinamide, N-[[2'-[[[(1S)-1-carboxy-2-(4-hydroxyphenyl)ethyl]amino]carboxyl] [1,1'-biphenyl]-2-yl]carbonyl]-L-phenylalanyl-N-methyl- (9CI) (CA INDEX NAME)

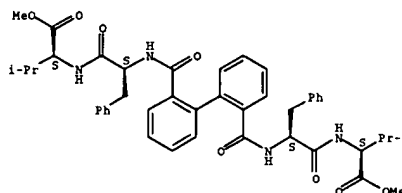
Absolute stereochemistry.

L3 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



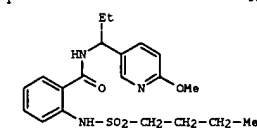
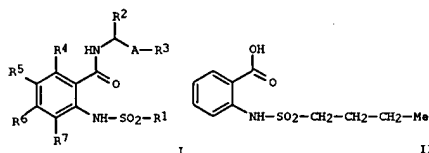
RN 794589-71-2 CAPLUS
 CN L-Valine, 1,1'-[[1,1'-biphenyl]-2,2'-diyl]dicarbonyl]bis[L-phenylalanyl-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 GI



III

AB Title compds. I [R1 = alkyl, quinolinyl; R2 = alkyl, cyclopropyl; R3 = (un)substituted Ph, pyridyl (sic); A = C2H2n; n = 0-2; R4, R5, R6, R7 = H, halo, CF3, etc.] and their pharmaceutically acceptable salts were prepared. For example, coupling of 1-(6-methoxyphenyl)-3-pyridylpropylamine and benzoic acid II, e.g., prepared from 2-aminobenzoic acid and 1-butanedisulfonyl chloride, followed by chiral HPLC purification afforded claimed aminosulfonylcarboxamide III. In Kv1.5 potassium flow inhibition assays, 7-examples of compds. I exhibited IC50 values ranging from 0.2-10 µM, e.g., the IC50 value of aminosulfonylcarboxamide III was 10 µM. Compds. I are claimed useful for the treatment of atrial fibrillation and atrial flutter.

AN 2004:800760 CAPLUS
 DN 141:314015
 TI Preparation of 2-aminosulfonylcarboxamides and related compounds as Kv1.5 potassium channel blockers
 IN Brendel, Joachim/ Wirth, Klaus/ Goegelein, Heinz/ Allesle, Maurits/
 PA Aventis Pharma Deutschland GmbH, Germany
 SO Ger. Offen., 25 pp.
 CODEN: GWXHEX
 DT Patent
 LA German
 FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|----------|
| PI DE 10312061 | A1 | 20040930 | DE 2003-10312061 | 20030318 |
| WO 2004082716 | A1 | 20040930 | WO 2004-EP2246 | 20040305 |
| V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, | | | | |

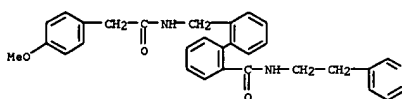
L3 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005030893 A1 20050217 US 2004-796894 20040309
 PRAI DE 2003-10312061 A 20030318
 US 2003-492640P P 20030805
 OS MARPAT 141:314015
 IT 767334-96-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 2-aminosulfonylcarboxamides and related compds. as Kv1.5 potassium channel blockers)
 RN 767334-96-3 CAPLUS
 CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-[2-(3-pyridinyl)ethyl]-, compd. with N-[4-[2-[methyl(2-[4-[(methylsulfonyl)amino]phenoxy)ethyl]amino]ethyl]phenyl]methanesulfonamide (1:1) (9CI) (CA INDEX NAME)

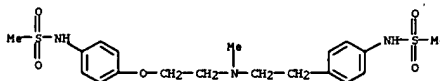
CH 1

CRN 498577-53-0
 CHF C30 H29 N3 O3



CH 2

CRN 115256-11-6
 CHF C19 H27 N3 O5 S2



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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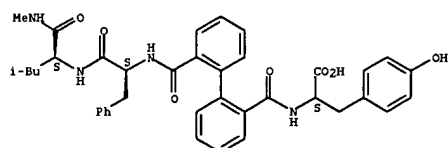
L3 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 AB Wholly aromatic polymers with various helical structures were prepared through the combination of two axially dissym. bifunctional compds. The palladium-catalyzed condensation of (R)-2,2-diethoxy-6,6'-dibromo-1,1'-binaphthyl with (R)-1,1'-binaphthyl-2,2'-diamine and the reaction of (S)-2,2-diethoxy-6,6'-dibromo-1,1'-binaphthyl with (S)-1,1'-binaphthyl-2,2'-diamine produced helical polyamines, and the chiral conformation was confirmed by their CD spectra and large sp. rotations. The combination of (R)-2,2-diethoxy-6,6'-dibromo-1,1'-binaphthyl and (S)-1,1'-binaphthyl-2,2'-diamine afforded polyamines with a zigzag conformation. The condensation of (R)-2,2'-dimethylbiphenyl-6,6'-dicarbonyl chloride with (R)-2,2'-diamino-6,6'-dimethylbiphenyl and the reaction of (S)-2,2'-diamino-6,6'-dimethylbiphenyl with (S)-2,2'-dicarbonyl chloride with (S)-2,2'-diamino-6,6'-dimethylbiphenyl predominantly yielded cyclic dimers and tetramers because of the steric proximity of the reactive groups of the propagating species. The exptl. results indicated that the structures of the obtained polymers depended on the combination of the chirality of the bifunctional atropisomeric compds. and the position of the functional groups on the aromatic rings.

AN 2004:751774 CAPLUS
 DN 141:411332
 TI Syntheses of helical polymers through the combination of axially dissymmetric segments
 AU Temma, Tomohisa; Kobayashi, Motoyasu; Agata, Yuya; Yamane, Tomoya; Miura, Jun; Takeishi, Makoto
 CS Department of Polymer Science and Engineering, Yamagata University Yonezawa, Yamagata, 992-8510, Japan
 SO Journal of Polymer Science, Part A: Polymer Chemistry (2004), 42(18), 4607-4620
 CODEN: JPACEC; ISSN: 0887-624X
 PB John Wiley & Sons, Inc.
 DT Journal
 LA English
 IT 793673-63-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (syntheses of chiral aromatic helical polyamines and polyamides through the combination of axially dissym. segments)
 RN 793673-63-9 CAPLUS
 CN Poly[imino]([R]-6,6'-dimethyl[1,1'-biphenyl]-2,2'-diyl]iminocarbonyl]([S]-6,6'-dimethyl[1,1'-biphenyl]-2,2'-diyl]carbonyl] (9CI) (CA INDEX NAME)

L3 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 AB The corrected structural formula of compound 6 on page 449 is given.

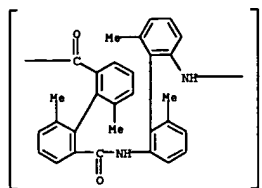
AN 2004:665427 CAPLUS
 DN 142:240696
 TI Peptide-biphenyl hybrids as calpain inhibitors. [Erratum to document cited in CA141:191050]
 AU Montero, Ana; Mann, Enrique; Chana, Antonio; Herradon, Bernardo
 CS Instituto de Química Orgánica General, C.S.I.C., Madrid, E-28006, Spain
 SO Chemistry & Biodiversity (2004), 1(7), 1109
 CODEN: CBHIAH; ISSN: 1612-1872
 PB Verlag Helvetica Chimica Acta AG
 DT Journal
 LA English
 IT 740818-12-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of peptide-biphenyl hybrids as calpain inhibitors (Erratum))
 RN 740818-12-6 CAPLUS
 CN L-Leucinamide, N-[[[2'-[[[[(1S)-1-carboxy-2-(4-hydroxyphenyl)ethyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-L-phenylalanyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L3 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

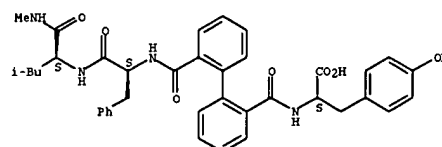


RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 AB Calpain is a cysteine protease that is activated by Ca2+. The over-activation of calpain, which occurs on increasing Ca2+ concentration, causes a variety of diseases. This paper reports exptl. results on the inhibition of calpain I (μ-calpain) by peptide-biphenyl hybrids. We have found that some peptide-biphenyl hybrids, with aromatic amino acids in the peptide chains, inhibit calpain with IC50 values in the nanomolar range. Since the peptide-biphenyl hybrids reported in the present paper do not possess a reactive electrophilic functionality, we hypothesize that they interfere with the activation of calpain by Ca2+, and present exptl. and computational results on the binding of peptide-biphenyl hybrids to Ca2+.

AN 2004:337261 CAPLUS
 DN 141:191050
 TI Peptide-biphenyl hybrids as calpain inhibitors
 AU Montero, Ana; Mann, Enrique; Chana, Antonio; Herradon, Bernardo
 CS Instituto de Química Orgánica General, C.S.I.C., Madrid, E-28006, Spain
 SO Chemistry & Biodiversity (2004), 1(3), 442-457
 CODEN: CBHIAH; ISSN: 1612-1872
 PB Verlag Helvetica Chimica Acta AG
 DT Journal
 LA English
 IT 740818-12-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of peptide-biphenyl hybrids as calpain inhibitors)
 RN 740818-12-6 CAPLUS
 CN L-Leucinamide, N-[[[2'-[[[[(1S)-1-carboxy-2-(4-hydroxyphenyl)ethyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-L-phenylalanyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

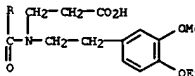
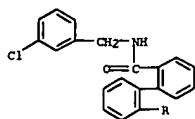
5/04/05

L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 AB Disclosed is a remedy and/or a preventive for a chronic disease which contains an endothelial differentiation gene 2 (EDG-2) antagonist. Because of binding to a subtype EDG-2 of lysophosphatidic acid (LPA) receptor, an EDG-2 antagonist is useful in treating and/or preventing chronic diseases (for example, chronic asthma, glomerular nephritis, obesity, diseases caused by the progress of arteriosclerosis, rheumatoid and atopic diseases) induced and made chronic by tissue cells the proliferation of which is accelerated by LPA mediated by EDG-2. The inhibitory effect of 3-[N-[[2-[[2-[[pyridine-3-ylmethylamino]carbonyl]phenyl]phenyl]carbonyl]-N-[[2-(2,5-dimethoxyphenyl)ethyl]amino]propanoic acid (I) hydrochloride on LPA-induced proliferation of human prostate stroma cell was examined. Also, a tablet containing 150 mg/tablet was formulated.
 AN 2004:20536 CAPLUS
 DN 140:65261
 TI Remedy for chronic disease containing EDG-2 antagonists
 IN Nakade, Shinji; Habashita, Hiromu; Seko, Takuya
 PA Ono Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 145 pp.
 CODEN: P1XXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-----------|-----------------|-----------|
| PI WO 2004/002530 | A1 | 2004/0108 | WO 2003-JP6679 | 2003/0528 |
| W: AS, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CP, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, HL, HR, NE, SN, TD, TG | | | | |
| PRAI JP 2002-185542 | A | 2002/0626 | | |

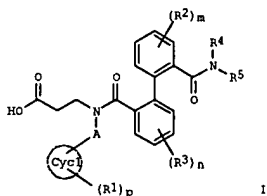
OS MARPAT 140:65261
 IT 629638-00-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (remedy for chronic disease containing EDG-2 antagonists)
 RN 629638-00-2 CAPLUS
 CN β -Alanine, N-[[2'-[[[3-(4-chlorophenyl)methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[[2-(4-ethoxy-3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 GI



AB The title compds. [I; A = C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene each optionally substituted by 1-3 C1-4 alkyl group(s); the ring Cyl = C3-15 carbocyclic or 3- to 13-membered heterocyclic ring containing 1-4 N, 1-2 O, and/or 1-2 S atom(s); R1 = C1-4 alkyl, halo, cyano, trihalomethyl, OR6, SR7, NR8R9, NO2, CO2R10, CONR11R12, NR13COR14, SO2NR15R16, NR17SO2R18, S(O)R19, SO2R20; R6-R20 = H, C1-4 alkyl; R2, R3 = C1-4 alkyl, C1-4 alkoxy, halo; R4, R5 = H, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, R21O-C1-4 alkyl, R22R23N-C1-4 alkyl, etc.; or NR4R5 is combined together to represent 3- to 15-membered mono-, di-, or tricyclic heterocyclyl containing at least one N atom and optionally substituted by OR25; wherein R21, R22, R23, R25 = H, C1-4 alkyl, C2-6 acyl, trihaloacetyl; wherein m, n = an integer of 0-4; p = an integer of 0-5; when p, m, or n is 2, R1, R2, or R3 is same or different] or prodrugs or salts thereof are prepared. These compds. engage in lysophosphatidic acid (LPA) receptor bonding, in particular EDG-2 and antagonism and hence are useful in the prevention and/or treatment of urol. diseases (symptoms associated with prostate-gland enlargement or neuropathic bladder, bone tumors of the spine, disk herniation, spinal canal stenosis, symptoms attributed to diabetes, lower urinary tract infections (e.g., obstruction of lower urinary tract), inflammation of lower urinary tract and polypria), cancer-associated diseases (solid tumor, solid tumor metastasis, angiofibroma, myeloma, multiple myeloma, Kaposi's sarcoma, leukemia and wet metastasis of cancer), proliferative diseases (diseases accompanied by abnormal angiogenesis, blocked artery and lung fibrosis), inflammation/immune diseases (psoriasis, nephropathy, hepatitis and pneumonia), diseases caused by secretion disorder (Sjogren's syndrome) or brain-associated diseases (brain block, cerebral hemorrhage and cerebral or peripheral nerve disorder). Thus, 3-[N-[[2-(2-carboxyphenyl)phenyl]-N-[[2-(2,5-dimethoxyphenyl)ethyl]amino]propanoic acid-bound to Wang resin (preparation given) was condensed with 4-chlorobenzylamine using 1-hydroxybenzotriazole monohydrate and N,N-diisopropylcarbodiimide in DMF at room temperature for 16 h, followed by treatment with a 9:1 mixture of CF3CO2H and H2O at room temperature for 1 h to give 3-[N-[[2-[[2-[[4-chlorobenzylamine]carbonyl]phenyl]phenyl]carbonyl]-N-[[2-(2,5-dimethoxyphenyl)ethyl]amino]propanoic acid (II). In an EDG-2 antagonism assay, II showed IC50 of 0.41 μ mol/L for inhibiting the increase in cellular calcium ion-concentration in CHO cells over-expressing human EDG-2 gene.

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L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AN 2003:950976 CAPLUS
 DN 140:16961
 TI Preparation of N-(2'-carbamoyl-1,1'-biphenyl-2-ylcarbonyl)- β -alanine derivatives as lysophosphatidic acid receptor antagonists
 IN Habashita, Hiromu; Terakado, Masahiko; Nakade, Shinji; Seko, Takuya
 PA Ono Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 434 pp.
 CODEN: P1XXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-----------|-----------------|-----------|
| PI WO 2003/099765 | A1 | 2003/1204 | WO 2003-JP6678 | 2003/0528 |
| W: AS, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, HL, HR, NE, SN, TD, TG | | | | |

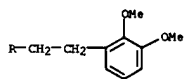
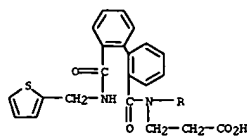
PRAI JP 2002-153592 A 2002/0528
 OS MARPAT 140:16961
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 629630-67-7P 629630-90-6P 629631-17-0P
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 629639-57-2P 629639-65-2P 629641-26-5P
 629641-53-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-(2'-carbamoyl-1,1'-biphenyl-2-ylcarbonyl)- β -alanine derivs. as lysophosphatidic acid (LPA) receptor and G protein-coupled receptor EDG-2 antagonists)

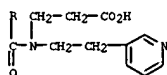
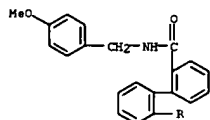
RN 629629-94-3 CAPLUS
 CN β -Alanine, N-[[2-(2,3-dimethoxyphenyl)ethyl]-N-[[2'-[[2-thienylmethyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

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L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

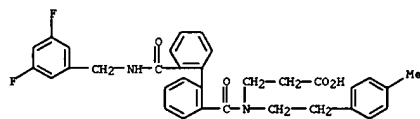


RN 629630-34-8 CAPLUS
CN β -Alanine, N-[[2'-[[[(4-methoxyphenyl)methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

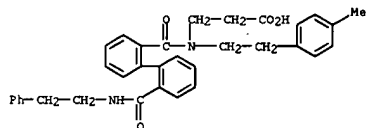


RN 629630-63-3 CAPLUS
CN β -Alanine, N-[[2'-[[[(2-hydroxyethyl)(phenylmethyl)amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[2-(4-methylphenyl)ethyl]- (9CI) (CA INDEX NAME)

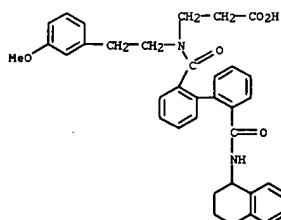
L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 629631-22-7 CAPLUS
CN β -Alanine, N-[2-(4-methylphenyl)ethyl]-N-[[2'-[[[(2-phenylethyl)amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

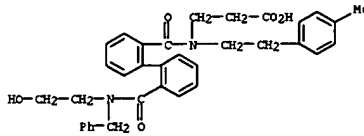


RN 629631-24-9 CAPLUS
CN β -Alanine, N-[2-(3-methoxyphenyl)ethyl]-N-[[2'-[[[(1,2,3,4-tetrahydro-1-naphthalenyl)amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

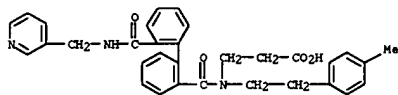


RN 629631-34-1 CAPLUS
CN β -Alanine, N-[[2'-[[[ethyl(phenylmethyl)amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[2-(3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

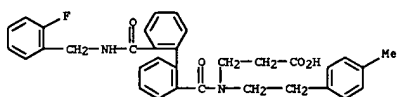
L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 629630-67-7 CAPLUS
CN β -Alanine, N-[2-(4-methylphenyl)ethyl]-N-[[2'-[[[(3-pyridinylmethyl)amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

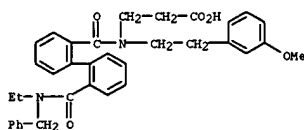


RN 629630-90-6 CAPLUS
CN β -Alanine, N-[[2'-[[[(2-fluorophenyl)methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[2-(4-methylphenyl)ethyl]- (9CI) (CA INDEX NAME)

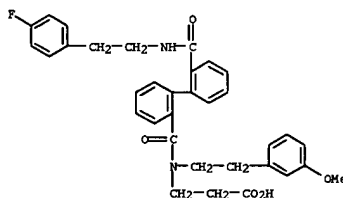


RN 629631-17-0 CAPLUS
CN β -Alanine, N-[[2'-[[[(3,5-difluorophenyl)methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[2-(4-methylphenyl)ethyl]- (9CI) (CA INDEX NAME)

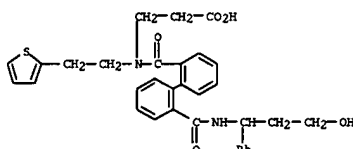
L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 629631-46-5 CAPLUS
CN β -Alanine, N-[[2'-[[[(2-(4-fluorophenyl)ethyl)amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[2-(3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 629631-73-8 CAPLUS
CN β -Alanine, N-[[2'-[[[(3-hydroxy-1-phenylpropyl)amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)



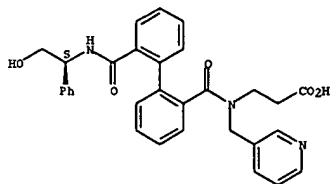
RN 629632-09-3 CAPLUS
CN β -Alanine, N-[[2'-[[[(1S)-2-hydroxy-1-phenylethyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[2-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

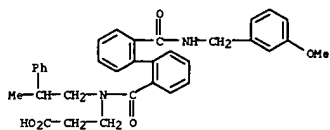
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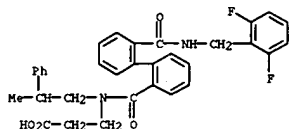
L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 629632-29-7 CAPLUS
CN β -Alanine, N-[[2'-[[[(3-methoxyphenyl)methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-(2-phenylpropyl)- (9CI) (CA INDEX NAME)



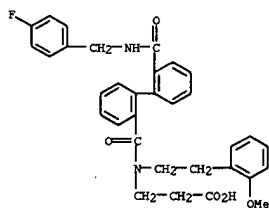
RN 629632-45-7 CAPLUS
CN β -Alanine, N-([2'-[[[(2,6-difluorophenyl)methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl)-N-(2-phenylpropyl)- (9CI) (CA INDEX NAME)



RN 629633-02-9 CAPLUS
CN β -Alanine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-[[2'-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-(9CI) (CA INDEX NAME)

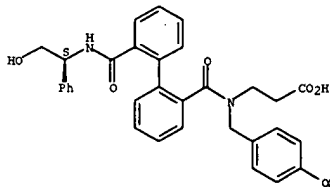
L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 629633-63-2 CAPLUS
CN β -Alanine, N-[[2'-[[[(4-fluorophenyl)methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[2-(2-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



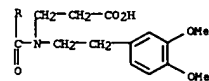
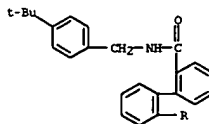
RN 629634-04-4 CAPLUS
CN β -Alanine, N-[[[2'-[[[1S]-2-hydroxy-1-phenylethyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

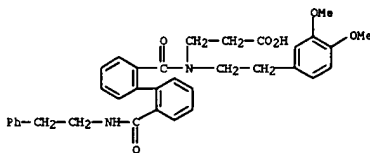


RN 629634-60-2 CAPLUS
CN β -Alanine, N-([2'-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]carbon
yl][1,1'-biphenyl]-2-yl]carbonyl)-N-(2-phenylethyl)- (9CI) (CA INDEX
NAME)

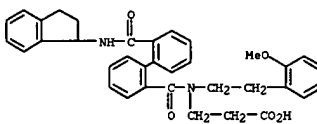
L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



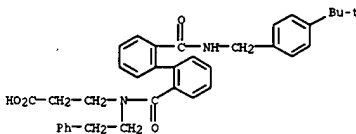
RN 629633-21-2 CAPLUS
CN β -Alanine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-[[2'-[[2-phenylethyl)amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



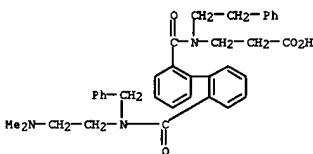
RN 629633-48-3 CAPLUS
CN β -Alanine, N-([2'-([2,3-dihydro-1H-inden-1-yl]amino)carbonyl]{1,1'-biphenyl}-2-yl]carbonyl]-N-[2-(2-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



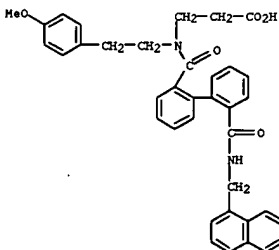
L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 629634-73-7 CAPLUS
CN β -Alanine, N-([2'-((2-(dimethylamino)ethyl)(phenylmethyl)amino)carbo-
nyl][1,1'-biphenyl]-2-yl)carbonyl)-N-(2-phenylethyl)- (9CI) (CA INDEX
NAME)



RN 629634-79-3 CAPLUS
CN β -Alanine, N-[2-(4-methoxyphenyl)ethyl]-N-[[2'-[[1-(naphthalenylmethyl)amino]carbonyl]-[1,1'-biphenyl]-2-yl]carbonyl]- (9CI)
(CA INDEX NAME)

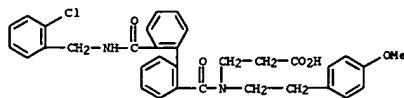


RN 629634-94-2 CAPLUS
CN β -Alanine, N-[[2'-[[[(2-chlorophenyl)methyl]amino]carbonyl][1,1'-

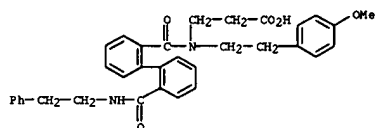
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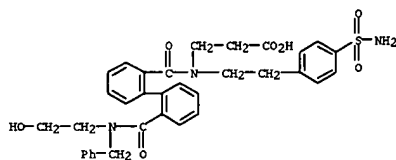
L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
biphenyl-2-yl]carbonyl]-N-[2-(4-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 629635-07-0 CAPLUS
CN β -Alanine, N-[2-(4-methoxyphenyl)ethyl]-N-[[2'-[[2-phenylethyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

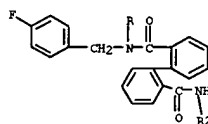
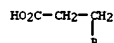


RN 629635-09-2 CAPLUS
CN β -Alanine, N-[2-(4-(aminosulfonyl)phenyl)ethyl]-N-[[2'-[[2-(hydroxyethyl)(phenylmethyl)amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

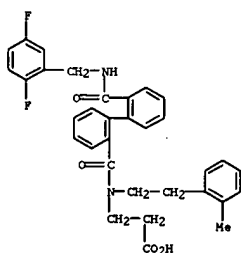


RN 629635-73-0 CAPLUS
CN β -Alanine, N-[2-(2,4-dichlorophenyl)ethyl]-N-[[2'-[[methyl(6-methyl-2-pyridinyl)methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

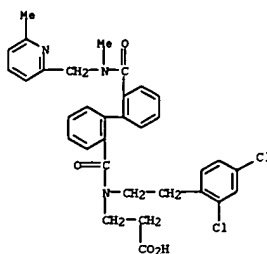


RN 629636-65-3 CAPLUS
CN β -Alanine, N-[[2'-[[[2,5-difluorophenyl)methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[2-(2-methylphenyl)ethyl]- (9CI) (CA INDEX NAME)

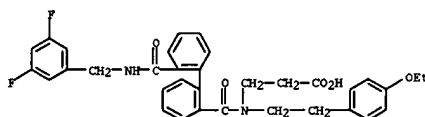


RN 629636-75-5 CAPLUS
CN β -Alanine, N-[2-(2-methylphenyl)ethyl]-N-[[2'-[[2-(thienylmethyl)amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

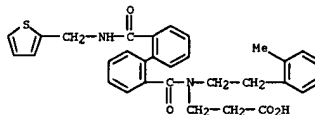


RN 629636-00-6 CAPLUS
CN β -Alanine, N-[[2'-[[[3,5-difluorophenyl)methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[2-(4-ethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

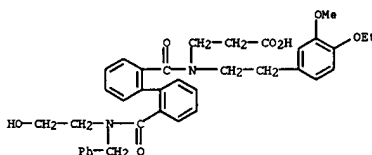


RN 629636-04-0 CAPLUS
CN β -Alanine, N-[[4-fluorophenyl)methyl]-N-[[2'-[[1,2,3,4-tetrahydro-1-naphthalenyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

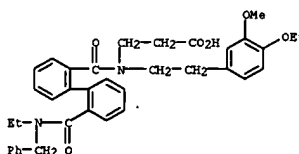
L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 629637-65-6 CAPLUS
CN β -Alanine, N-[2-(4-ethoxy-3-methoxyphenyl)ethyl]-N-[[2'-[[2-(hydroxyethyl)(phenylmethyl)amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 629637-88-3 CAPLUS
CN β -Alanine, N-[2-(4-ethoxy-3-methoxyphenyl)ethyl]-N-[[2'-[[[ethyl(phenylmethyl)amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

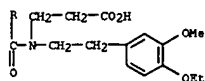
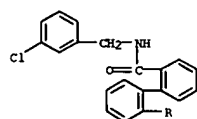


RN 629638-00-2 CAPLUS
CN β -Alanine, N-[[2'-[[[3-chlorophenyl)methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[2-(4-ethoxy-3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

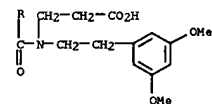
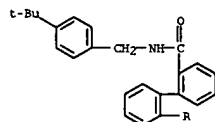
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L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



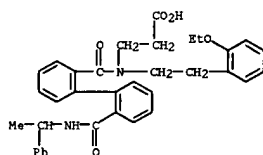
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CN β -Alanine, N-[2-(3,5-dimethoxyphenyl)ethyl]-N-[[2'-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



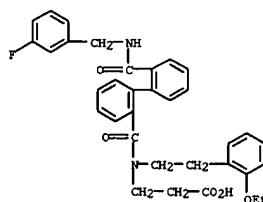
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CN β -Alanine, N-[2-(3,5-dimethoxyphenyl)ethyl]-N-[[2'-[[[1R]-2-hydroxy-1-phenylethyl]methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

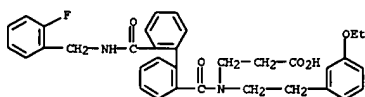
L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 629638-73-9 CAPLUS
CN β -Alanine, N-[2-(2-ethoxyphenyl)ethyl]-N-[[2'-[[[3-fluorophenyl]methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



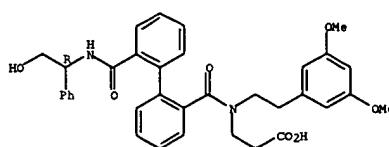
RN 629639-11-8 CAPLUS
CN β -Alanine, N-[2-(3-ethoxyphenyl)ethyl]-N-[[2'-[[[2-fluorophenyl]methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)



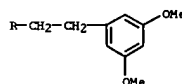
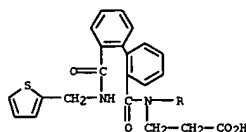
RN 629639-21-0 CAPLUS
CN β -Alanine, N-[2-(3-ethoxyphenyl)ethyl]-N-[[2'-[[[1R]-1-(4-methylphenyl)ethyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

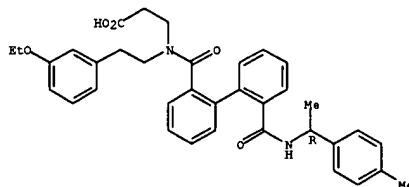


RN 629638-44-4 CAPLUS
CN β -Alanine, N-[2-(3,5-dimethoxyphenyl)ethyl]-N-[[2'-[[[2-thienylmethyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

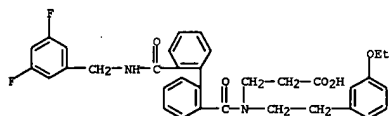


RN 629638-59-1 CAPLUS
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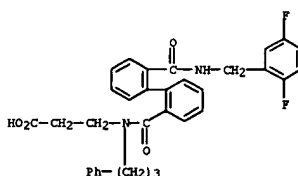
L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 629639-26-5 CAPLUS
CN β -Alanine, N-[[2'-[[[[(3,5-difluorophenyl)methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-[2-(3-ethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 629639-42-5 CAPLUS
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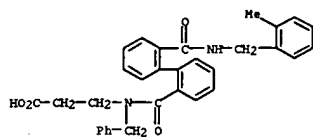


RN 629639-57-2 CAPLUS
CN β -Alanine, N-[[2'-[[[[(2-methylphenyl)methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

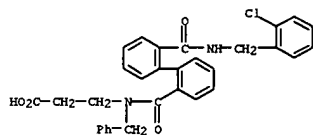
10691624

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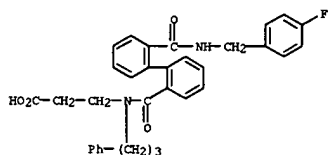
L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 629639-65-2 CAPLUS
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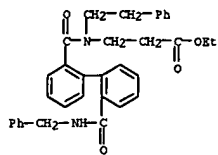


RN 629641-26-5 CAPLUS
CN β -Alanine, N-[[2'-[[[[(4-fluorophenyl)methyl]amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



RN 629641-53-8 CAPLUS
CN β -Alanine, N-(2-phenylethyl)-N-[[2'-[[[[(phenylmethyl)amino]carbonyl][1,1'-biphenyl]-2-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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5/04/05

=> FIL STNGUIDE

=>

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 51.23 | 52.72 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| CA SUBSCRIBER PRICE | -5.11 | -5.11 |

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Apr 29, 2005 (20050429/UP).

=> logoff y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 0.66 | 53.38 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| CA SUBSCRIBER PRICE | 0.00 | -5.11 |

STN INTERNATIONAL LOGOFF AT 16:38:10 ON 04 MAY 2005

Connecting via Winsock to STN

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LOGINID:SSSPTA1612RXD

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

| | | |
|------|----------|--|
| NEWS | 1 | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS | 2 | "Ask CAS" for self-help around the clock |
| NEWS | 3 FEB 25 | CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered |
| NEWS | 4 FEB 28 | PATDPAFULL - New display fields provide for legal status data from INPADOC |
| NEWS | 5 FEB 28 | BABS - Current-awareness alerts (SDIs) available |
| NEWS | 6 FEB 28 | MEDLINE/LMEDLINE reloaded |
| NEWS | 7 MAR 02 | GBFULL: New full-text patent database on STN |
| NEWS | 8 MAR 03 | REGISTRY/ZREGISTRY - Sequence annotations enhanced |

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NEWS 9 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 10 MAR 22 KOREAPAT now updated monthly; patent information enhanced
NEWS 11 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS 12 MAR 22 PATDPASPC - New patent database available
NEWS 13 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS 14 APR 04 EPFULL enhanced with additional patent information and new fields
NEWS 15 APR 04 EMBASE - Database reloaded and enhanced
NEWS 16 APR 18 New CAS Information Use Policies available online
NEWS 17 APR 25 Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAPLUS and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS 18 APR 28 Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAPLUS

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

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FILE 'HOME' ENTERED AT 18:46:49 ON 04 MAY 2005

=> file registry

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|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 0.21 | 0.21 |

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STRUCTURE FILE UPDATES: 3 MAY 2005 HIGHEST RN 849720-40-7

DICTIONARY FILE UPDATES: 3 MAY 2005 HIGHEST RN 849720-40-7

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
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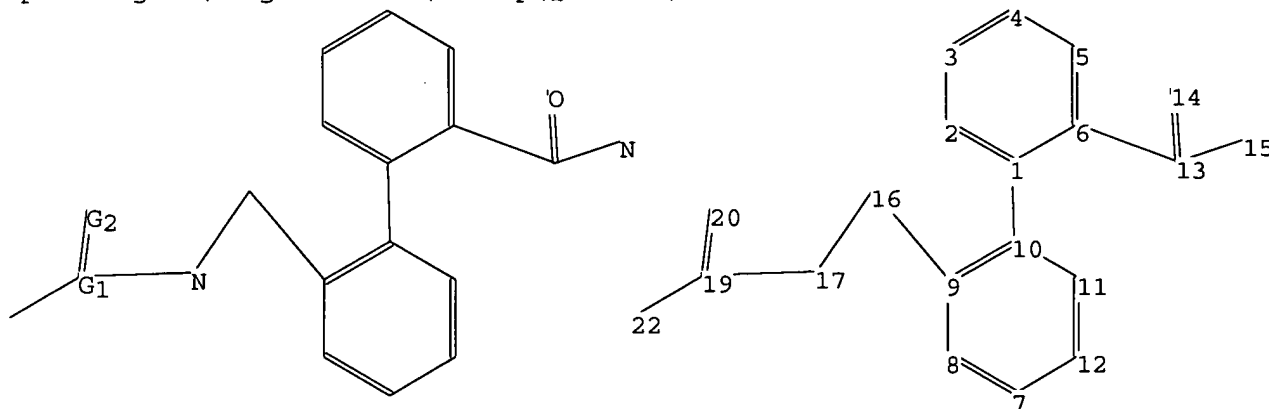
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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005. A new display format, IDERL, is now    *
* available and contains the CA role and document type information.  *
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*****
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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10691624.str



chain nodes :

13 14 15 16 17 19 20 22

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

chain bonds :

1-10 6-13 9-16 13-14 13-15 16-17 17-19 19-20 19-22

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

13-14 13-15 16-17 17-19 19-20 19-22

exact bonds :

1-10 6-13 9-16

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

10691624

5/04/05

G1:C,S

G2:O,S

Match level :

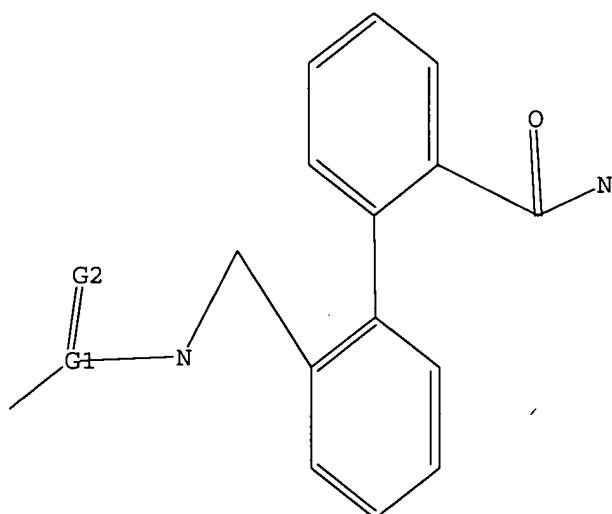
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11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 19:CLASS
20:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,S

G2 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 18:47:45 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 293 TO ITERATE

100.0% PROCESSED 293 ITERATIONS

3 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 4833 TO 6887

10691624

5/04/05

PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 18:47:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6079 TO ITERATE

100.0% PROCESSED 6079 ITERATIONS 51 ANSWERS
SEARCH TIME: 00.00.01

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=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 161.33 161.54

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FILE COVERS 1907 - 4 May 2005 VOL 142 ISS 19
FILE LAST UPDATED: 3 May 2005 (20050503/ED)

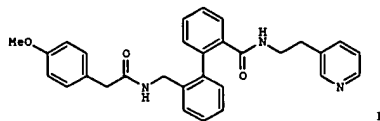
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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 10 L3

=> d abs bib hitstr 1-10

5/04/05

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
G1

AB The invention discloses the combination of one or more β -blockers and one or more Kv1.5 blockers, in particular phenylcarboxamides, and/or physiol. tolerable salts thereof, and the use of the combination for the treatment or prophylaxis of atrial arrhythmias. Preparation of e.g. I is described.

AN 2005:220139 CAPLUS
DN 142:274017

TI Combination of phenylcarboxylic acid amides with β -adrenoreceptor blockers and their use for the treatment of atrial arrhythmias

IN Wirth, Klaus; Brendel, Joachim; Goegel, Heinz

PA Aventis Pharma Deutschland GmbH, Germany

SO U.S. Pat. Appl. Publ., 14 pp.

CODEN: USXXCO

DT Patent

LA English

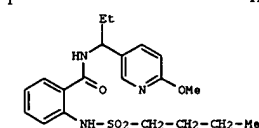
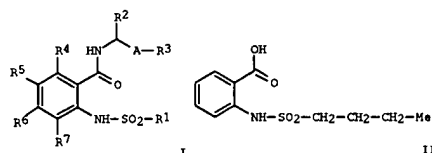
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| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI US 2005054673 | A1 | 20050310 | US 2004-932431 | 20040901 |
| DE 10341233 | A1 | 20050324 | DE 2003-10341233 | 20030908 |
| WO 2005025674 | A1 | 20050324 | WO 2004-EP9837 | 20040903 |
| V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRAI DE 2003-10341233 A 20030908
US 2004-537612P P 20040120

IT 498577-46-1P 498577-53-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
G1

III

AB Title compds. I [R1 = alkyl, quinolinyl; R2 = alkyl, cyclopropyl; R3 = (un)substituted Ph, pyridyl (sic); A = C2H2n; n = 0-2; R4, R5, R6, R7 = H, halo, CF3, etc.] and their pharmaceutically acceptable salts were prepared. For example, coupling of 1-(6-methoxypyridin-3-yl)propylamine and benzoic acid II, e.g., prepared from 2-aminobenzoic acid and 1-butanedisulfonfyl chloride, followed by chiral HPLC purification afforded claimed aminosulfonylcarboxamide III. In Kv1.5 potassium flow inhibition assays, 7-examples of compds. I exhibited IC50 values ranging from 0.2-10 μ M, e.g., the IC50 value of aminosulfonylcarboxamide III was 10 μ M. Compds. I are claimed useful for the treatment of atrial fibrillation and atrial flutter.

AN 2004:800760 CAPLUS

DN 141:314015

TI Preparation of 2-aminosulfonylcarboxamides and related compounds as Kv1.5 potassium channel blockers

IN Brendel, Joachim; Wirth, Klaus; Goegel, Heinz; Alessie, Maurits; Blaauw, Y.

PA Aventis Pharma Deutschland GmbH, Germany

SO Ger. Offen., 25 pp.

CODEN: GWXXEX

DT Patent

LA German

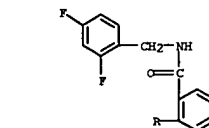
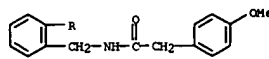
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|----------|
| PI DE 10312061 | A1 | 20040930 | DE 2003-10312061 | 20030318 |
| WO 2004082716 | A1 | 20040930 | WO 2004-EP2246 | 20040305 |
| V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, | | | | |

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(phenylcarboxylic acid amide combination with β -adrenoreceptor blocker for treatment of atrial arrhythmia)

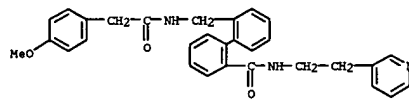
RN 498577-46-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 498577-53-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
G1

AB Title compds. I [R1 = alkyl, quinolinyl; R2 = alkyl, cyclopropyl; R3 = (un)substituted Ph, pyridyl (sic); A = C2H2n; n = 0-2; R4, R5, R6, R7 = H, halo, CF3, etc.] and their pharmaceutically acceptable salts were prepared. For example, coupling of 1-(6-methoxypyridin-3-yl)propylamine and benzoic acid II, e.g., prepared from 2-aminobenzoic acid and 1-butanedisulfonfyl chloride, followed by chiral HPLC purification afforded claimed aminosulfonylcarboxamide III. In Kv1.5 potassium flow inhibition assays, 7-examples of compds. I exhibited IC50 values ranging from 0.2-10 μ M, e.g., the IC50 value of aminosulfonylcarboxamide III was 10 μ M. Compds. I are claimed useful for the treatment of atrial fibrillation and atrial flutter.

AN 2005030803 A1 20050217 US 2004-796894 20040309

PRAI DE 2003-10312061 A 20030318

US 2003-492640P P 20030805

OS MARPAT 141:314015

IT 498577-46-1P 498577-53-0P 767334-95-2P

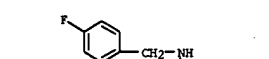
767334-96-3P 767334-97-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

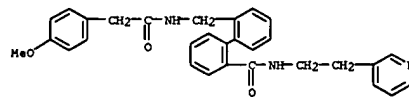
(preparation of 2-aminosulfonylcarboxamides and related compds. as Kv1.5 potassium channel blockers)

RN 498577-46-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 498577-53-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 767334-95-2 CAPLUS

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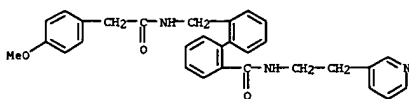
10691624

5/04/05

L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
N-[2-(3-pyridinyl)ethyl]-, compd. with N-[4-[4-(ethylheptylamino)-1-hydroxybutyl]phenyl]methanesulfonamide (1:1) (9CI) (CA INDEX NAME)

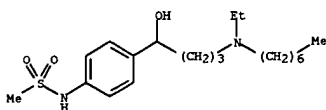
CH 1

CRN 498577-53-0
CMF C30 H29 N3 O3



CH 2

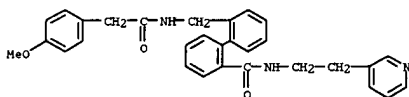
CRN 122647-31-8
CMF C20 H36 N2 O3 S



RN 767334-96-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-[2-(3-pyridinyl)ethyl]-, compd. with N-[4-[2-[methyl[2-(4-[(methylsulfonyl)amino]phenoxy)ethyl]amino]ethyl]phenyl]methanesulfonamide (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 498577-53-0
CMF C30 H29 N3 O3

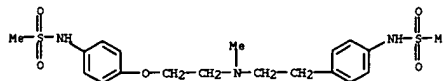


L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH 2

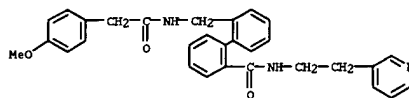
CRN 115256-11-6
CMF C19 H27 N3 O5 S2



RN 767334-97-4. CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-[2-(3-pyridinyl)ethyl]-, compd. with (2-butyl-3-benzofuran-1-yl)-4-[2-(diethylamino)ethoxy]-3,5-diiodophenyl]methanone (1:1) (9CI) (CA INDEX NAME)

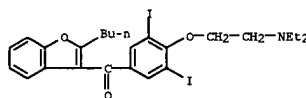
CH 1

CRN 498577-53-0
CMF C30 H29 N3 O3



CH 2

CRN 1951-25-3
CMF C25 H29 I2 N O3



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

AB Background: The Kv1.5 channel, underlying IKur, is supposed to be atrial selective in pigs and humans. We investigated the effects of different potassium channel blockers, i.e. the IKur blockers AVE 0118, S9947 and S20951, with amiodarone (AM), dofetilide (DO), azimilide (AZ), ibutilide (IB), the IKs blocker HMR 1556, atropine (ATR), flecainide (FL), propafenone (PR), d,l-sotalol (SO), atenolol (ATE), and esmolol (ES), on the left and right atrial and ventricular refractoriness and left atrial vulnerability (LAV) in vivo in pigs. Material/Methods: In pentobarbital-anesthetized pigs (n=31), atrial and ventricular effective refractory periods (ERPs) were measured with the S1-S2-extrastimulus-method and QTc time from electrocardiograms. LAV was assessed after S2-extrastimulus to the left atrium. Results: All IKur blockers prolonged left stronger than right atrial ERP and did not change QTc. All IKr blockers predominantly prolonged the right vs. left atria. AM prolonged both atria equally, and ATR the left only. Pure beta blockers acted predominantly on the left atrium, as did FL and PR, while d,l-sotalol acted predominantly on the right. AVE 0118, S9947, S20951, ibutilide, and d,l-sotalol significantly decreased LAV (-100%, -100%, -82%, -53%, -42%, p<0.05), in contrast to all other drugs. Conclusions: The IKur blockers exhibited stronger effects on the left atrium, which itself has shorter refractoriness, but strikingly with no effect on ventricular repolarization, while IKr blockers, IKs blockers, and d,l-sotalol exerted predominantly right atrial effects and known ventricular effects. IKur blockers inhibited atrial tachyarrhythmias stronger than all available drugs. Therefore, IKur blockers seem to be promising new atrial-selective antiarrhythmic drugs.

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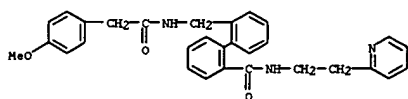
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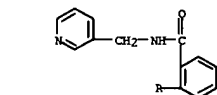
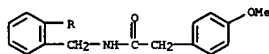
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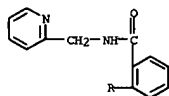
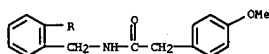
L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 498577-49-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

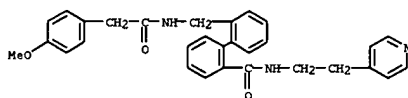


RN 498577-50-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

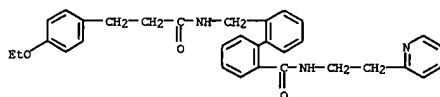


RN 498577-51-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(4-methoxyphenyl)-2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)

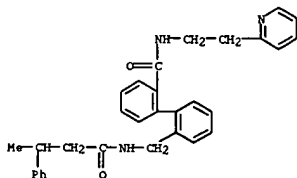
L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



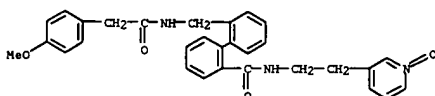
RN 498577-55-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[3-(4-methoxyphenyl)-1-oxopropyl]amino]methyl]-N-(2-(2-pyridinyl)ethyl)- (9CI) (CA INDEX NAME)



RN 498577-56-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[1-oxo-3-phenylbutyl]amino]methyl]-N-(2-(2-pyridinyl)ethyl)- (9CI) (CA INDEX NAME)



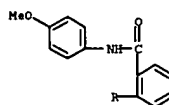
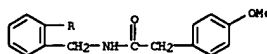
RN 498577-61-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-[2-(1-oxido-3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



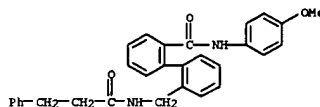
RN 498578-40-8 CAPLUS

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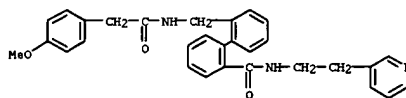
L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 498577-52-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(4-methoxyphenyl)-2'-[[[1-oxo-3-phenylpropyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 498577-53-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

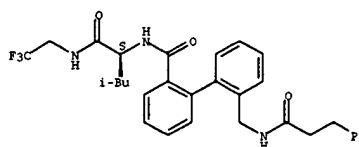


RN 498577-54-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

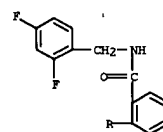
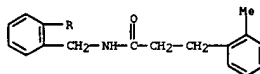
L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CN [1,1'-Biphenyl]-2-carboxamide, N-[(1S)-3-methyl-1-[[[2,2,2-trifluoroethyl]amino]carbonyl]butyl]-2'-[[[1-oxo-3-phenylpropyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



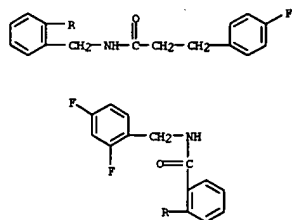
RN 498578-44-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[3-(2-methylphenyl)-1-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)



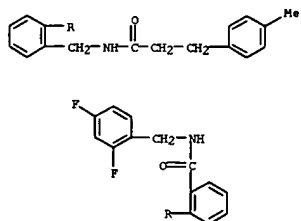
RN 498578-45-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[3-(4-fluorophenyl)-1-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

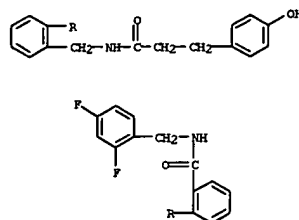


RN 498578-46-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[3-(4-methylphenyl)-1-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)

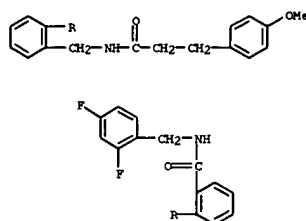


RN 498578-47-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[3-(4-hydroxyphenyl)-1-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

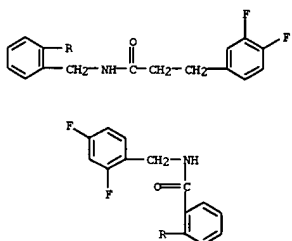


RN 498578-48-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[3-(4-methoxyphenyl)-1-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)

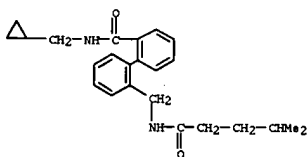


RN 498578-49-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[3-(3,4-difluorophenyl)-1-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

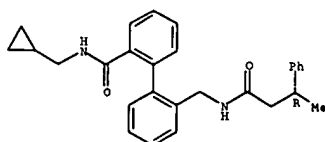


RN 498578-62-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(cyclopropylmethyl)-2'-[[[4-methyl-1-oxopentyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 498578-63-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(cyclopropylmethyl)-2'-[[[3-(1-oxo-3-phenylbutyl)amino]methyl]- (9CI) (CA INDEX NAME)

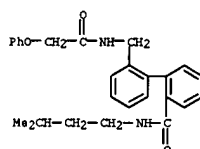
Absolute stereochemistry.



RN 498578-65-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(3-methylbutyl)-2'-[[[phenoxycetyl]amino]methyl]- (9CI) (CA INDEX NAME)

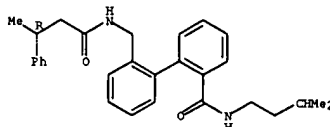
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L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

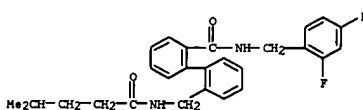


RN 498578-66-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(3-methylbutyl)-2'-[[[3-(1-oxo-3-phenylbutyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 498578-68-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[4-methyl-1-oxopentyl]amino]methyl]- (9CI) (CA INDEX NAME)

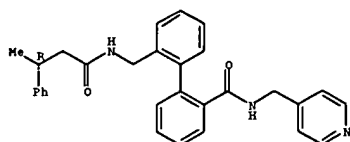


RN 498578-70-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[3-(1-oxo-3-phenylbutyl)amino]methyl]-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

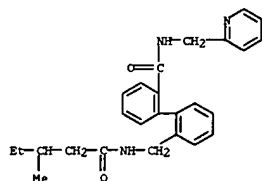
Absolute stereochemistry.

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L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

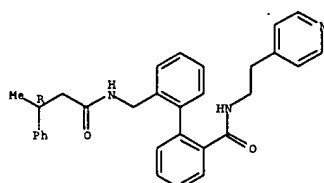


RN 498578-71-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'--[[[(3R)-1-oxo-3-phenylbutyl]amino]methyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



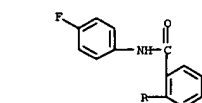
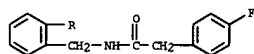
RN 498578-72-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'--[[[(3R)-1-oxo-3-phenylbutyl]amino]methyl]-N-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

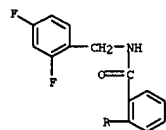
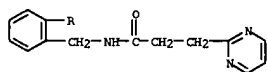


RN 498578-74-8 CAPLUS

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



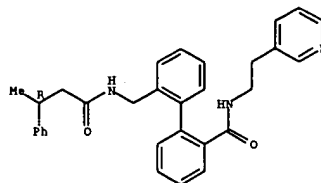
RN 498578-92-0 CAPLUS
CN 2-Pyrimidinepropanamide, N-[[2'--[[[(2,4-difluorophenyl)methyl]amino]carbon yl][1,1'-biphenyl]-2-yl]methyl]- (9CI) (CA INDEX NAME)



RN 498578-95-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'--[[[(4-fluorophenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)

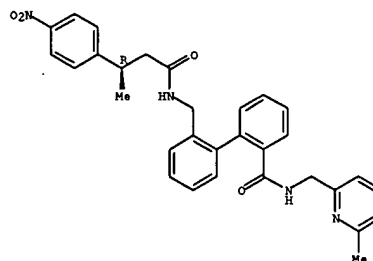
L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN [1,1'-Biphenyl]-2-carboxamide, 2'--[[[(3R)-1-oxo-3-phenylbutyl]amino]methyl]-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



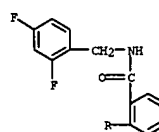
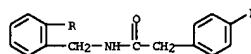
RN 498578-76-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(6-methyl-2-pyridinyl)methyl]-2'--[[[(3R)-3-(4-nitrophenyl)-1-oxobutyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



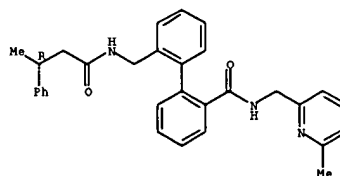
RN 498578-77-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(4-fluorophenyl)-2'--[[[(3R)-1-oxo-3-phenylbutyl]amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 498578-96-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(6-methyl-2-pyridinyl)methyl]-2'--[[[(3R)-1-oxo-3-phenylbutyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

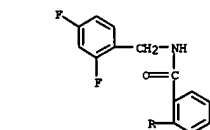
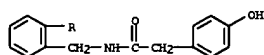


RN 498578-98-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'--[[[(4-hydroxyphenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)

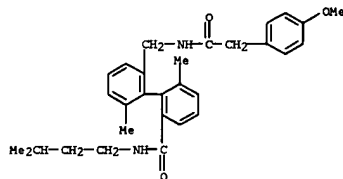
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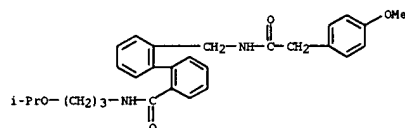
L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



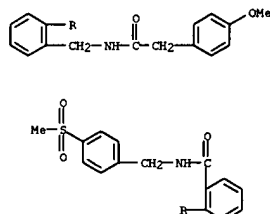
RN 498579-99-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-6,6'-dimethyl-N-(3-methylbutyl)- (9CI) (CA INDEX NAME)



RN 498579-00-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-[3-(1-methylethoxy)propyl]- (9CI) (CA INDEX NAME)

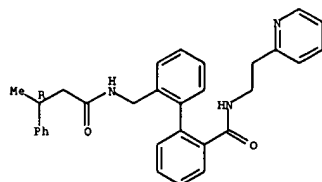


L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
N-[[4-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 498579-43-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(3R)-1-oxo-3-phenylbutyl]amino]methyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

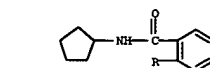
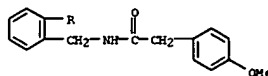
Absolute stereochemistry.



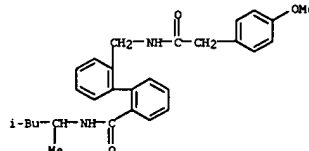
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

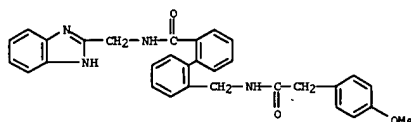
RN 498579-01-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-cyclopentyl-2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 498579-07-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(1,3-dimethylbutyl)-2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)

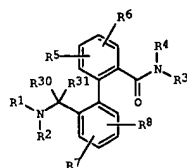


RN 498579-15-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(1H-benzimidazol-2-ylmethyl)-2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 498579-18-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
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AB Title compds. [I; R1 = CO2R9, SO2R10, COR11, CONR12R13, CSNR12R13; R9, R10, R11, R12 = CnH2nR14; n = 0-4; R14 = (fluoro)alkyl, cycloalkyl, (un)substituted Ph, naphthyl, furyl, etc.; m = 0 if R14 = (cyclo)alkoxy, SO2Me, or OPh; R2 and R13 = independently H, alkyl, or CF3; R3 = CnH2nR16 or CHR18R19; n = 0-4; n = 0 if R16 = OR17, SO2Me; R17 = H, (cyclo)alkyl, (un)substituted Ph, or pyridyl, R16 = (fluoro)alkyl, cycloalkyl, (un)substituted Ph, naphthyl, furyl, etc.; R18 = H or CnH2nR16; p = 0-3; R19 = CO2H, CONH2, CH2OH, etc.; R4 = H, alkyl, or CF3; or NR3R4 = heterocyclyl; R5, R6, R7, R8 = independently H, halo, CF3, NO2, cyano, etc.; R30 and R31 = independently H or alkyl; CR30R31 = cyclopropyl; and pharmaceutically acceptable salts thereof] were prepared
Thus, 2'-aminomethylbiphenyl-2-(N-phenethyl)carboxamide (preparation given)

and NaHCO3 in dioxane and H2O were treated dropwise with 4-trifluoromethylbenzyl-N-succinimide carbonate (preparation given) in dioxane followed by 12 h stirring at room temperature to give 2'-(4-trifluoromethylbenzyl)oxycarbonylaminomethyl)-biphenyl-2-(N-phenethyl)carboxamide. Tested I inhibited Kv1.5 potassium flow with IC50 = 0.2 μM - 11.3 μM. Thus, I are especially suitable as antiarrhythmic active agents, in particular for the treatment and prophylaxis of atrial arrhythmias, e.g. atrial fibrillation (AF) or atrial flutter (no data).

AN 2003:193044 CAPLUS
DN 138:187521
TI Preparation of 2'-aminomethylbiphenyl-2-carboxamides as Kv1.5 potassium channel blockers.
IN Brendel, Joachim; Schmidt, Wolfgang; Below, Peter
PA Aventis Pharma Deutschland G.m.b.H., Germany
SO PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 3

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2001025189 | A1 | 20010412 | WO 2000-EP9151 | 20000919 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NZ, PL, PT, RO, RU, | | | | |

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L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

SD, SE, SG, SI, SK, SL, TJ, TH, TI, TZ, UA, UG, UZ, VN, YU,
ZA, ZV, AM, AZ, BY, KS, KZ, MD, RU, TJ, TH
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 19947457 A1 20010405 DE 1999-19947457 19991002
CA 2385859 AA 20010412 CA 2000-2385859 20000919
BR 2000014465 A 20020611 BR 2000-14465 20000919
EP 1222163 A1 20020717 EP 2000-967703 20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL

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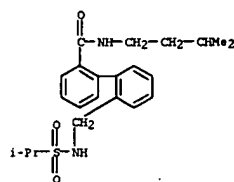
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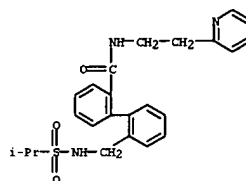
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREF (Preparation); USES
(Uses)
(antiarrhythmic; preparation of aminomethylbiphenylcarboxamides as Kv1.5
potassium channel blockers)

RN 332378-64-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(3-methylbutyl)-2'-[[[(1-methylethyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)

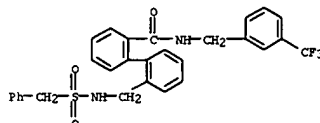
L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 332378-68-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(1-methylethyl)sulfonyl]amino]methyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

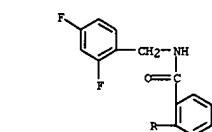
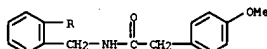


RN 498577-45-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(phenylmethyl)sulfonyl]amino]methyl]-N-[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

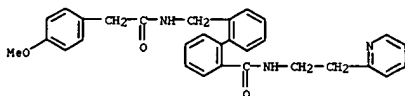


RN 498577-46-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)

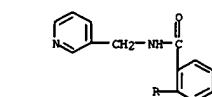
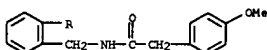
L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 498577-48-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

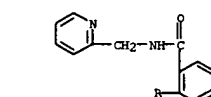
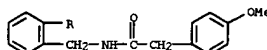


RN 498577-49-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

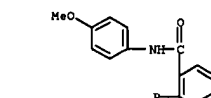
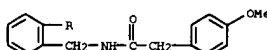


RN 498577-50-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

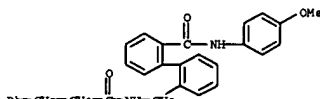
L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 498577-51-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(4-methoxyphenyl)-2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 498577-52-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(4-methoxyphenyl)-2'-[[[(1-oxo-3-phenylpropyl)amino]methyl]- (9CI) (CA INDEX NAME)

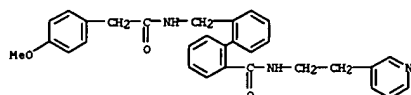


RN 498577-53-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

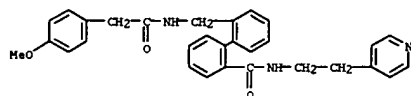
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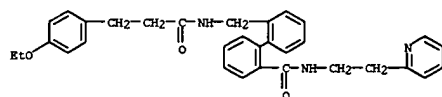
L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 498577-54-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[3-(4-methoxyphenyl)acetyl]amino]methyl]-N-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

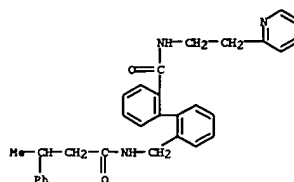


RN 498577-55-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[3-(4-ethoxyphenyl)-1-oxopropyl]amino]methyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

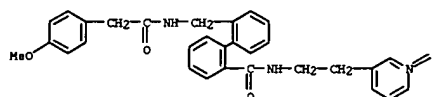


RN 498577-56-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[1-(1-oxo-3-phenylbutyl)amino]methyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

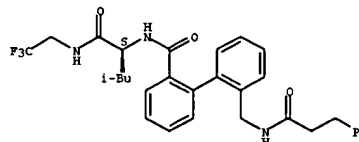


RN 498577-61-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[3-(4-methoxyphenyl)acetyl]amino]methyl]-N-[2-(1-oxido-3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



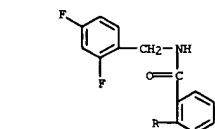
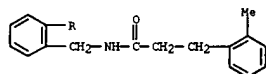
RN 498578-40-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(1S)-3-methyl-1-[[2,2,2-trifluoroethyl]amino]carbonyl]butyl]-2'-[[[1-(1-oxo-3-phenylpropyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

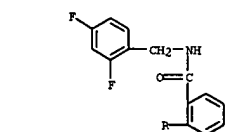
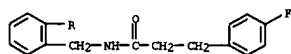


RN 498578-44-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[3-(2-methylphenyl)-1-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

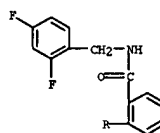
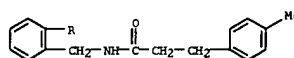


RN 498578-45-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[3-(4-fluorophenyl)-1-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)

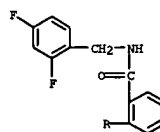
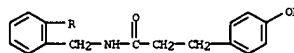


RN 498578-46-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[3-(4-methylphenyl)-1-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 498578-47-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[3-(4-hydroxyphenyl)-1-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)

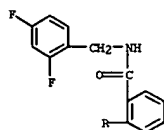
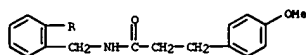


RN 498578-48-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[3-(4-methoxyphenyl)-1-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)

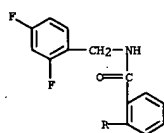
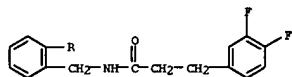
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L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

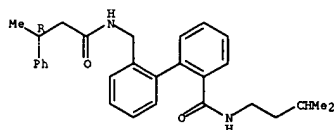


RN 498578-49-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[3-(3,4-difluorophenyl)-1-oxopropyl]amino]methyl]- (9CI) (CA INDEX NAME)

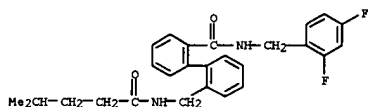


RN 498578-62-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(cyclopropylmethyl)-2'-[[[4-methyl-1-oxopentyl]amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

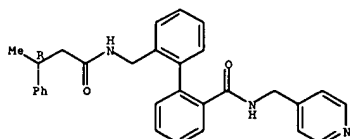


RN 498578-68-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[4-methyl-1-oxopentyl]amino]methyl]- (9CI) (CA INDEX NAME)



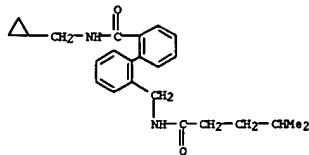
RN 498578-70-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[3-methyl-1-oxo-3-phenylbutyl]amino]methyl]-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



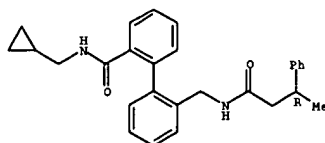
RN 498578-71-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[3-methyl-1-oxopentyl]amino]methyl]-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

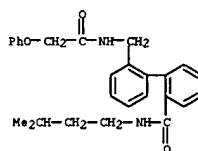


RN 498578-63-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(cyclopropylmethyl)-2'-[[[3-(1-oxo-3-phenylbutyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



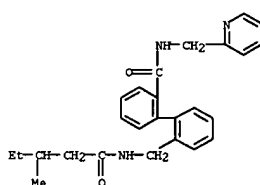
RN 498578-65-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(3-methylbutyl)-2'-[[[phenoxyacetyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 498578-66-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-(3-methylbutyl)-2'-[[[3-(1-oxo-3-phenylbutyl)amino]methyl]- (9CI) (CA INDEX NAME)

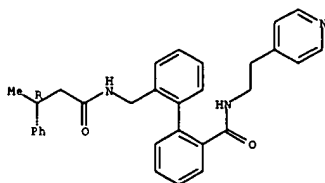
Absolute stereochemistry.

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



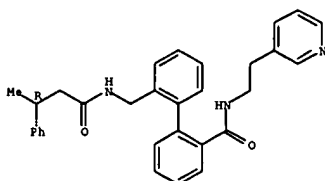
RN 498578-72-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[3-(1-oxo-3-phenylbutyl)amino]methyl]-N-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 498578-74-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[3-(1-oxo-3-phenylbutyl)amino]methyl]-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



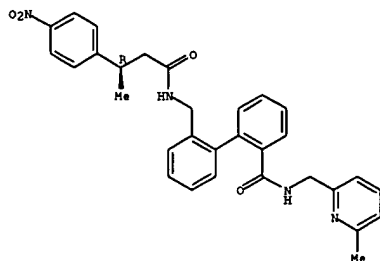
RN 498578-76-0 CAPLUS

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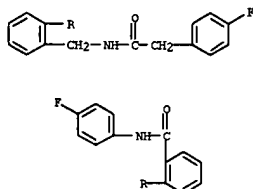
5/04/05

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN [1,1'-Biphenyl]-2-carboxamide, N-[(6-methyl-2-pyridinyl)methyl]-2'-[[[(3R)-3-(4-nitrophenyl)-1-oxobutyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

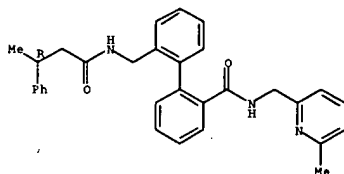


RN 498578-77-1 CAPLUS
 CN [1,1'-Biphenyl]-2-carboxamide, N-(4-fluorophenyl)-2'-[[[(4-fluorophenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)

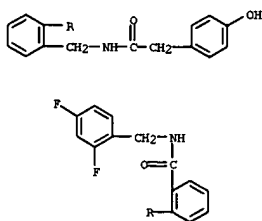


RN 498578-92-0 CAPLUS
 CN 2-Pyrimidinepropanamide, N-[[2'-[[[(2,4-difluorophenyl)methyl]amino]carbon yl][1,1'-biphenyl]-2-yl]methyl]- (9CI) (CA INDEX NAME)

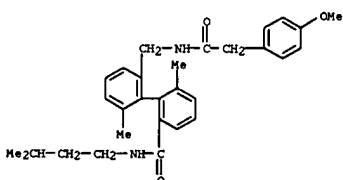
L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 498578-98-6 CAPLUS
 CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[(4-hydroxyphenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)



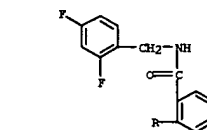
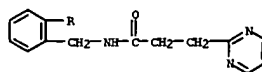
RN 498578-99-7 CAPLUS
 CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-6,6'-dimethyl-N-(3-methylbutyl)- (9CI) (CA INDEX NAME)



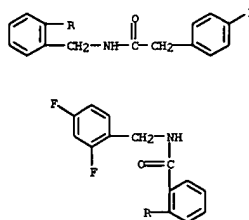
RN 498579-00-3 CAPLUS

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L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



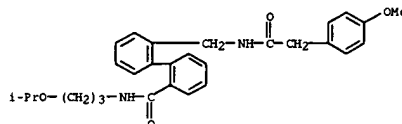
RN 498578-95-3 CAPLUS
 CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[(4-fluorophenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)



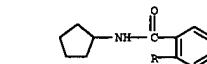
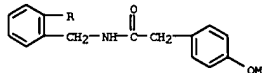
RN 498578-96-4 CAPLUS
 CN [1,1'-Biphenyl]-2-carboxamide, N-[(6-methyl-2-pyridinyl)methyl]-2'-[[[(3R)-1-oxo-3-phenylbutyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

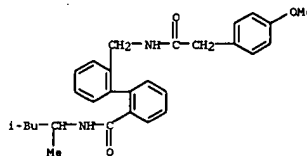
L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-[3-(1-methylethoxy)propyl]- (9CI) (CA INDEX NAME)



RN 498579-01-4 CAPLUS
 CN [1,1'-Biphenyl]-2-carboxamide, N-cyclopentyl-2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)



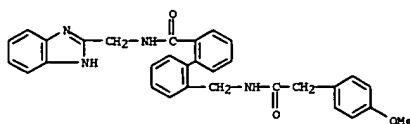
RN 498579-07-0 CAPLUS
 CN [1,1'-Biphenyl]-2-carboxamide, N-(1,3-dimethylbutyl)-2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)



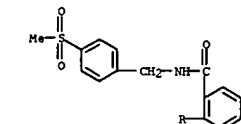
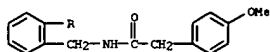
RN 498579-15-0 CAPLUS
 CN [1,1'-Biphenyl]-2-carboxamide, N-(1H-benzimidazol-2-ylmethyl)-2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

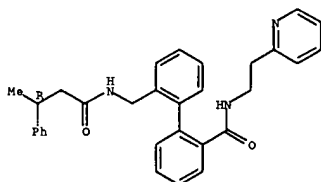


RN 498579-18-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]-N-[[4-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

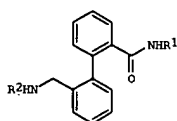


RN 498579-43-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(3R)-1-oxo-3-phenylbutyl]amino]methyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB The voltage-gated potassium channel Kv1.5 is regarded as a promising target for the development of new atrial selective drugs with fewer side effects. In the present study, several ortho,ortho-disubstituted bisaryl compds., e.g. 1 [R1 = Me2CHCH2CH2, 2,4-F2C6H3CH2, 2-(2-pyridyl)ethyl, etc.; R2 = PhCH2OCO, 4-MeOC6H4CH2CO, PhCH2CH2, etc.] were synthesized and screened for their ability to block Kv1.5 channels expressed in *Xenopus* oocytes. The observed structure-activity relationship was described by a pharmacophore model that consists of three hydrophobic centers in a triangular arrangement. The hydrophobic centers are matched by a Ph or pyridyl ring of the bisaryl core and both ends of the side chains. The most potent compds. 1 [R1 = 2-(2-pyridyl)ethyl; R2 = PhCH2OCO, (S)-PhCHMeOCO] inhibited the Kv1.5 channel with sub-micromolar half-blocking concns. and displayed 3-fold selectivity over Kv1.3 and no significant effect on the HERG channel and sodium currents. In addition, compds. 1 [R1 = 2-(2-pyridyl)ethyl; R2 = PhCH2OCO; R1 = 2,4-F2C6H3CH2, R2 = 4-MeOC6H4CH2CO] have shown antiarrhythmic effects in a pig model.

AN 2003:49604 CAPLUS

DN 138:254915

TI Identification, Synthesis, and Activity of Novel Blockers of the Voltage-Gated Potassium Channel Kv1.5

AU Peukert, Stefan; Brendel, Joachim; Pirard, Bernard; Brueggemann, Andrea; Below, Peter; Kleemann, Heinz-Verner; Hemmerle, Horst; Schmidt, Wolfgang

CS Medicinal Chemistry and DG Cardiovascular, Aventis Pharma Deutschland GmbH, Frankfurt/Main, D-65926, Germany

SO Journal of Medicinal Chemistry (2003), 46(4), 486-498

CODEN: JMCNAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 138:254915

IT 498577-46-1P 498579-43-4P 502169-75-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

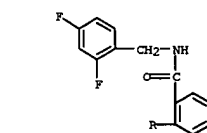
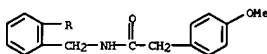
(Preparation of o-[o-(aminomethyl)phenyl]arene-carboxamides as blockers of the voltage-gated potassium channel Kv1.5 and antiarrhythmic agents)

RN 498577-46-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[(2,4-difluorophenyl)methyl]-2'-[[[(4-methoxyphenyl)acetyl]amino]methyl]- (9CI) (CA INDEX NAME)

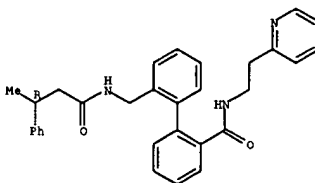
L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 498579-43-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(3R)-1-oxo-3-phenylbutyl]amino]methyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 502169-75-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(3S)-1-oxo-3-phenylbutyl]amino]methyl]-N-[2-(2-pyridinyl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

CRN 502169-74-6

CMF C31 H31 N3 O2

Absolute stereochemistry.

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L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

BR 2000014465 A 20020611 BR 2000-14465 20000919
EP 1222163 A1 20020717 EP 2000-967703 20000919

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL

TR 200200883 T2 20020923 TR 2002-200200883 20000919
JP 2003511363 T2 20030325 JP 2001-528137 20000919
EE 200200160 A 20030415 EE 2002-160 20000919
AU 766365 B2 20031016 AU 2000-77778 20000919
NZ 518065 A 20040827 NZ 2000-518065 20000919
US 6531495 E1 20030311 US 2000-698078 20001030
NO 2002001398 A 20020531 NO 2002-1398 20020320
ZA 2002002521 A 20021030 ZA 2002-2521 20020328
US 2003171351 A1 20030911 US 2002-252385 20020924
US 6686395 B2 20040203
US 2004102513 A1 20040527 US 2003-691624 20031024

PRAI DE 1999-19947457 A 19991002
WO 2000-EP9151 W 20000919
US 2000-675674 A2 20000929
US 2000-698078 A3 20001030
US 2002-252385 A3 20020924

OS MARPAT 134:280606

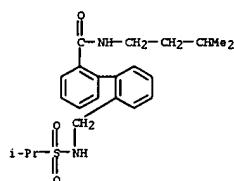
IT 332378-64-OP 332378-68-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminomethylbiphenylcarboxamides as Kv1.5 potassium channel blockers)

RN 332378-64-0 CAPLUS

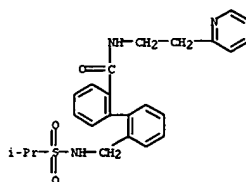
CN [1,1'-Biphenyl]-2-carboxamide, N-(3-methylbutyl)-2'-[[[(1-methylethyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 332378-68-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 2'-[[[(1-methylethyl)sulfonyl]amino]methyl]-N-(2-(2-pyridinyl)ethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

GI

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CN 1058710 B 20001122
HU 70197 A2 19950928 HU 1994-1041 19940412
ZA 9402325 A 19950216 ZA 1994-2325 19941031

PRAI GB 1993-7527 A 19930413

OS MARPAT 123:198646

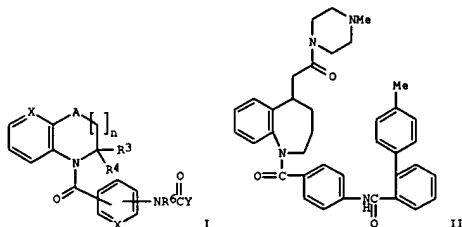
IT 168046-00-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzamide derivs. vasopressin antagonists)

RN 168046-00-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 2'-[(acetyl amino)methyl]-N-[4-[(3,4-dihydro-1(2H)-quinolinyl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



AB Benzamide derivs. I (R1 = H, alkyl, etc.; R2 = H, alkyl, haloalkyl, etc.; R3, R4 = H, alkyl, etc.; R3R4 taken together form oxo; R5 = H, halo, nitro, hydroxy, etc.; R6 = H, alkyl, acyl; A = aminomethylene, alkanediyl, alkenediyl, etc.; X, Y = nitrogen, methine; n = integer) were disclosed as vasopressin antagonists. I are useful for the treatment or prevention of hypertension, heart failure renal insufficiency, edema, ascites, vasopressin parasecretion syndrome, hepatocirrhosis, hyponatremia, hypokalemia, diabetic and circulation disorders. An example compound, 1-[4-[2-(4-methylphenyl)benzoylamino]benzoyl]-5-[[4-methyl-1-piperazinyl]carbonyl]methyl]-2,3,4,5-tetrahydro-1H-1-benzazepine (II) was prepared in several steps.

AN 1995:807928 CAPLUS

DN 123:198646

TI Benzamide derivatives and their use as vasopressin antagonists

IN Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Hemmi, Keiji; Tanaka, Horokazu

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO Eur. Pat. Appl., 110 pp.

CODEN: EPXOXW

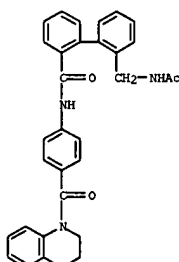
DT Patent

LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| PI EP 620216 | A1 | 19941019 | EP 1994-105344 | 19940407 |
| EP 620216 | B1 | 20030108 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| US 5521170 | A | 19960528 | US 1994-220695 | 19940331 |
| AT 230729 | E | 20030115 | AT 1994-105344 | 19940407 |
| ES 2185635 | T3 | 20030501 | ES 1994-105344 | 19940407 |
| AU 9459322 | A1 | 19941020 | AU 1994-59322 | 19940408 |
| AU 679719 | B2 | 19970710 | | |
| CA 2121112 | AA | 19941014 | CA 1994-212112 | 19940412 |
| JP 07002800 | A2 | 19950106 | JP 1994-72997 | 19940412 |
| CN 1098406 | A | 19950208 | CN 1994-103577 | 19940412 |

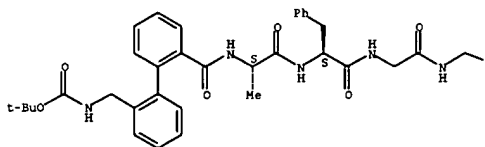
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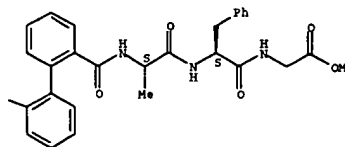
L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
 AB The biphenyl-containing pseudoamino acids 2'-(aminomethyl)biphenyl-2-carboxylic acid (Abc) and 2'-(aminomethyl)biphenyl-2-acetic acid (Aba) are used as rigid spacers in the backbone of the cyclic peptides cyclo(Abc-Ala-Phe-Gly)₂ (5), cyclo(Abc-Ala-Val-Gly)₂ (6), cyclo(Aba-Gly-Phe-Ala)₂, and cyclo(Aba-Ala-Phe-Gly)₂. Three different interconverting diastereomers are found in solns. of each of these cyclopeptides due to the atropisomerism of the biphenyl units. NMR techniques and mol. dynamics calcs. allow to conclude that the major diastereoisomer of 5 (and 6) in d₆-DMSO adopts a β -sheet conformation. It is proposed that the pseudo-amino acid (R)-Abc forms, when attached to L-amino acids, a H-bonding pattern comparable to a β -turn.
 AN 1994:605957 CAPLUS
 DN 121:205957
 TI Antiparallel β -sheet conformation in cyclopeptides containing a pseudo-amino acid with a biphenyl moiety
 AU Brandmeier, Volker; Sauer, Wolfgang H. B.; Feigel, Martin
 CS Inst. Org. Chem., Univ. Erlangen-Nuernberg, Erlangen, D-91054, Germany
 SO Helvetica Chimica Acta (1994), 77(1), 70-85
 CODEN: HCACAV; ISSN: 0018-019X
 DT Journal
 LA English
 IT 158066-17-2P 158066-18-3P
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, hydrazinolysis, deblocking, and peptide cyclization of, cyclopeptide from)
 RN 158066-17-2 CAPLUS
 CN Glycine, N-[N-[N-[[2'-[[[N-[N-[[2'-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]carbonyl]-L-alanyl]-L-valyl]glycyl]amino]methyl][1,1'-biphenyl]-2-yl]carbonyl]-L-alanyl]-L-phenylalanyl]-, methyl ester (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

PAGE 1-A



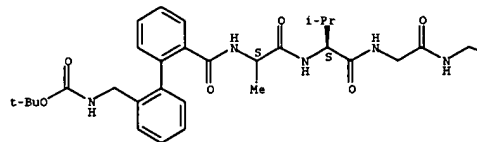
L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



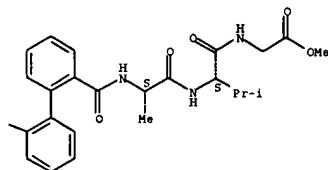
RN 158066-18-3 CAPLUS
 CN Glycine, N-[N-[N-[[2'-[[[N-[N-[[2'-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl][1,1'-biphenyl]-2-yl]carbonyl]-L-alanyl]-L-valyl]glycyl]amino]methyl][1,1'-biphenyl]-2-yl]carbonyl]-L-alanyl]-L-valyl]-, methyl ester (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

PAGE 1-A



L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

54.35

215.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-7.30

-7.30

STN INTERNATIONAL LOGOFF AT 18:54:17 ON 04 MAY 2005